

search of applicants species

ring nodes :

1 2 3 4 5 6

ring/chain nodes :

12

chain bonds :

1-12

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6

exact/norm bonds :

1-2 1-6 1-12 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1 :

G1: Cy, O, S, N, Se

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 12:CLASS

09/934,531

=> d his

(FILE 'HOME' ENTERED AT 11:38:28 ON 28 APR 2003)

FILE 'REGISTRY' ENTERED AT 11:38:34 ON 28 APR 2003

L1 STRUCTURE UPLOADED  
L2 QUE L1  
L3 134192 S 7/SZ  
L4 50 S L2 SUB=L3 SAM  
L5 1 S DIAZEPINE/CN  
L6 23917 S C5N2/EA  
L7 23917 S L3 AND L6  
L8 50 S L2 SUB=L7 SAM

FILE 'HCAPLUS' ENTERED AT 11:43:11 ON 28 APR 2003

L9 1 S 133:321908/DN  
SELECT RN L9 1-

FILE 'REGISTRY' ENTERED AT 11:43:56 ON 28 APR 2003

L10 256 S E1-256  
L11 206 S L3 AND L10

FILE 'CAPLUS' ENTERED AT 11:46:04 ON 28 APR 2003

L12 486 S L11  
L13 ANALYZE L12 1- RN HIT : 206 TERMS

FILE 'REGISTRY' ENTERED AT 11:46:54 ON 28 APR 2003

L14 1 S 505-66-8/RN  
L15 1 S 112275-50-0/RN  
L16 STRUCTURE UPLOADED  
L17 QUE L16  
L18 50 S L17 SUB=L7 SAM  
L19 204 S L11 NOT (L14 OR L15)  
L20 8857 S L17 SUB=L7 FUL

FILE 'CAPLUS' ENTERED AT 11:50:58 ON 28 APR 2003

L21 1428 S L20  
L22 7 S L19  
L23 ANALYZE L21 1- RN HIT : 5673 TERMS

FILE 'REGISTRY' ENTERED AT 11:52:58 ON 28 APR 2003

L24 1 S 80755-51-7/RN  
L25 1 S 103745-39-7/RN  
L26 1 S 87233-62-3/RN  
L27 1 S 87233-61-2/RN  
L28 1 S 105637-50-1/RN  
L29 1 S 52712-76-2/RN  
L30 1 S 109376-83-2/RN  
L31 1 S 105628-72-6/RN  
L32 1 S 211872-02-5/RN  
L33 1 S 105628-07-7/RN  
L34 8847 S L21 NOT (L24 OR L25 OR L26 OR L27 OR L28 OR L29 OR L30 OR L31)

FILE 'CAPLUS' ENTERED AT 11:58:29 ON 28 APR 2003

L35 987 S L34

FILE 'REGISTRY' ENTERED AT 11:59:33 ON 28 APR 2003

L36 STRUCTURE UPLOADED

09/934,531

L37                QUE L36  
L38                840 S L37 SUB=L20 FUL  
L39                8017 S L20 NOT L38

FILE 'CAPLUS' ENTERED AT 12:00:48 ON 28 APR 2003

FILE 'REGISTRY' ENTERED AT 12:03:58 ON 28 APR 2003  
L40                STRUCTURE UPLOADED  
L41                QUE L40  
L42                3353 S L41 SUB=L20 FUL  
L43                5504 S L20 NOT L42  
L44                4780 S L43 NOT L38

FILE 'CAPLUS' ENTERED AT 12:05:14 ON 28 APR 2003  
L45                884 S L44  
L46                ANALYZE L45 1- RN HIT :     4349 TERMS

FILE 'REGISTRY' ENTERED AT 12:06:31 ON 28 APR 2003

FILE 'CAPLUS' ENTERED AT 12:06:32 ON 28 APR 2003

FILE 'REGISTRY' ENTERED AT 12:11:06 ON 28 APR 2003  
L47                1 S 101954-20-5/RN  
L48                1 S 41578-59-0/RN  
L49                1 S 55557-00-1/RN  
L50                1 S 5754-90-5/RN  
L51                1 S 122423-30-7/RN  
L52                1 S 122423-29-4/RN  
L53                1 S 21091-66-7/RN  
L54                STRUCTURE UPLOADED  
L55                QUE L54  
L56                4223 S L55 SUB=L44 FUL

FILE 'CAPLUS' ENTERED AT 12:15:43 ON 28 APR 2003  
L57                729 S L56

FILE 'REGISTRY' ENTERED AT 12:16:35 ON 28 APR 2003

FILE 'CAPLUS' ENTERED AT 12:16:36 ON 28 APR 2003

FILE 'REGISTRY' ENTERED AT 12:16:42 ON 28 APR 2003

FILE 'CAPLUS' ENTERED AT 12:16:43 ON 28 APR 2003

FILE 'REGISTRY' ENTERED AT 12:16:46 ON 28 APR 2003  
L58                4217 S L56 NOT (L47 OR L48 OR L49 OR L50 OR L51 OR L52 OR L53)

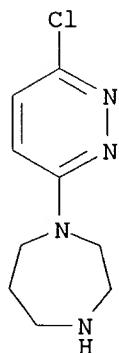
FILE 'CAPLUS' ENTERED AT 12:17:59 ON 28 APR 2003  
L59                706 S L58

FILE 'REGISTRY' ENTERED AT 12:18:46 ON 28 APR 2003  
L60                188 S L11 AND L58  
L61                18 S L11 NOT L60

FILE 'CAPLUS' ENTERED AT 12:20:29 ON 28 APR 2003

=> d bib abs hitstr 122 1-7

L22 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2003 ACS  
AN 2002:558408 CAPLUS  
DN 137:257238  
TI 6-Chloropyridazin-3-yl Derivatives Active as Nicotinic Agents: Synthesis, Binding, and Modeling Studies  
AU Toma, Lucio; Quadrelli, Paolo; Bunnelle, William H.; Anderson, David J.; Meyer, Michael D.; Cignarella, Giorgio; Gelain, Arianna; Barlocco, Daniela  
CS Dipartimento di Chimica Organica, Universita di Pavia, Pavia, 27100, Italy  
SO Journal of Medicinal Chemistry (2002), 45(18), 4011-4017  
CODEN: JMCMAR; ISSN: 0022-2623  
PB American Chemical Society  
DT Journal  
LA English  
AB 3,8-Diazabicyclo[3.2.1]octane (1), 2,5-diazabicyclo[2.2.1]heptane (2), piperazine (3), and homopiperazine (4) derivs., substituted at one nitrogen atom with the 6-chloro-3-pyridazinyl group while the other nitrogen atom was either unsubstituted or mono- or dimethylated, were synthesized and tested for their affinity toward the neuronal nicotinic acetylcholine receptors (nAChRs). All of the compds. had Ki values in the nanomolar range. A mol. modeling study allowed location of their preferred conformations, the energies of which were recalcd. in water with a continuum solvent model. Some of the compds. showed, in their populated conformations, only pharmacophoric distances longer than the values taken into consideration by the Sheridan model for nAChRs receptors. Thus, this SAR study gives support to the hypothesis that these longer distances are still compatible with affinity for .alpha.4.beta.2 receptors in the nanomolar range.  
IT **100224-61-1P**  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(6-chloropyridazin-3-yl derivs. active as nicotinic agents: prepn., binding, and modeling study)  
RN 100224-61-1 CAPLUS  
CN 1H-1,4-Diazepine, 1-(6-chloro-3-pyridazinyl)hexahydro- (9CI) (CA INDEX NAME)



RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2003 ACS

AN 2002:293427 CAPLUS

DN 136:325574

TI Preparation of piperazine, homopiperazine, and 8-azabicyclo[3.2.1]oct-2-ene, and 3,9-diazabicyclo[4.2.1]nonane derivatives for treatment of affective disorders by the combined action of a nicotinic receptor agonist and a monoaminergic substance

IN Olsen, Gunnar M.; Peters, Dan; Nielsen, Elsebet Ostergaard

PA Neurosearch A/S, Den.

SO PCT Int. Appl., 31 pp.

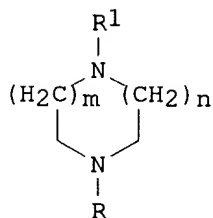
CODEN: PIXXD2

DT Patent

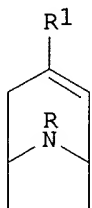
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002030405	A2	20020418	WO 2001-DK661	20011010
	WO 2002030405	A3	20020906		
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2001095436	A5	20020422	AU 2001-95436	20011010
PRAI	DK 2000-1535	A	20001013		
	US 2000-242146P	P	20001023		
	WO 2001-DK661	W	20011010		
OS	MARPAT 136:325574				
GI					



I



II

AB This invention relates to use of the combined action of a nicotinic acetylcholine receptor agonist and a monoamine reuptake inhibitor for the treatment of affective disorders including depression, anxiety, obsessive compulsive disorder (OCD), panic disorder, or pain, as well as to pharmaceutical compns. comprising these substances and chem. substances for use according to the invention. The chem. substances are represented by piperazine and homopiperazine derivs. (I; n = 1,2,3; m = 0,1,2; R = H, alkyl, cycloalkyl, cycloalkylalkyl, alkoxy, acyl, benzyl; R<sup>1</sup> = 5-bromo-3-pyridyl, 6-chloro-3-pyridyl, 6-bromo-5-methoxy-3-pyridyl, 6-bromo-3-pyridyl, 6-bromo-5-chloro-3-pyridyl, 5,6-dibromo-3-pyridyl,

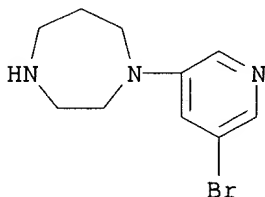
etc.) and 8-azabicyclo[3.2.1]oct-2-ene derivs. (II; R = H, alkyl, alkenyl, cycloalkyl, cyanoalkyl, Ph, naphthyl, benzyl; R1 = CHO, alkanoyl, cycloalkanoyl, carbamoyl, furanyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, imidazolyl, pyridyl, pyrimidinyl, thiazolyl, naphthyl, indolyl, benzofuranyl, etc.). Thus, 1-(6-Chloro-3-pyridyl)piperazine (III) (0.3, 1, 3, 10 mg/kg s.c.) was tested in the mouse forced swim test which is considered predictive of a potential antidepressant pharmacol. effect and it did not affect forced swimming with a 30 min pretreatment. However, the combination of venlafaxine and III (1+3; 3+3; 10+1; 10+3 mg/kg s.c.) significantly increased the forced swimming in NMRI mice.

IT 223796-92-7P, 1-(5-Bromo-3-pyridyl)homopiperazine  
 223797-23-7P, 1-(6-Chloro-3-pyridyl)homopiperazine  
 303159-57-1P, 1-(5,6-Dichloro-3-pyridyl)homopiperazine  
 303160-60-3P, 1-(5-Methoxy-6-bromo-3-pyridyl)homopiperazine  
 303160-62-5P, 1-(5-Methoxy-6-iodo-3-pyridyl)homopiperazine  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of piperazine, homopiperazine, azabicyclo[3.2.1]octene, and diazabicyclo[4.2.1]nonane derivs. for treatment of affective disorders)

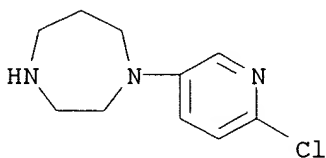
RN 223796-92-7 CAPLUS

CN 1H-1,4-Diazepine, 1-(5-bromo-3-pyridinyl)hexahydro- (9CI) (CA INDEX NAME)



RN 223797-23-7 CAPLUS

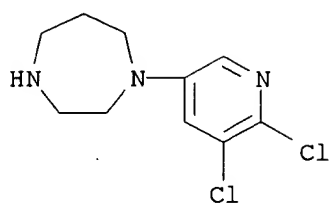
CN 1H-1,4-Diazepine, 1-(6-chloro-3-pyridinyl)hexahydro- (9CI) (CA INDEX NAME)



RN 303159-57-1 CAPLUS

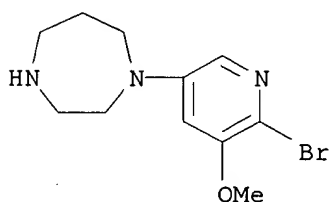
CN 1H-1,4-Diazepine, 1-(5,6-dichloro-3-pyridinyl)hexahydro- (9CI) (CA INDEX NAME)

09/934,531



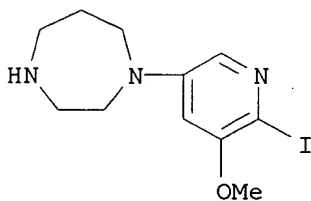
RN 303160-60-3 CAPLUS

CN 1H-1,4-Diazepine, 1-(6-bromo-5-methoxy-3-pyridinyl)hexahydro- (9CI) (CA  
INDEX NAME)



RN 303160-62-5 CAPLUS

CN 1H-1,4-Diazepine, hexahydro-1-(6-iodo-5-methoxy-3-pyridinyl)- (9CI) (CA  
INDEX NAME)



L22 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2003 ACS

AN 2000:772619 CAPLUS

DN 133:321908

TI Heteroaryl diazacycloalkanes, their preparation, and their use as nicotinic acetylcholine receptor ligands

IN Nielsen, Simon Feldbaek; Peters, Dan; Nielsen, Elsebet Ostergaard; Olsen, Gunnar M.

PA Neurosearch A/S, Den.

SO PCT Int. Appl., 70 pp.

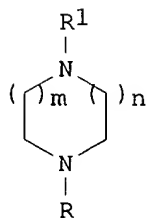
CODEN: PIXXD2

DT Patent

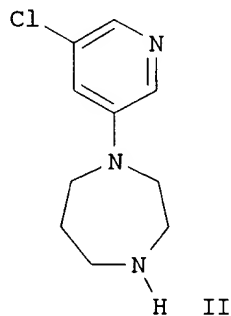
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000064885	A1	20001102	WO 2000-DK202	20000419
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	NZ 514021	A	20010928	NZ 2000-514021	20000419
	EP 1175416	A1	20020130	EP 2000-920421	20000419
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
	JP 2002543070	T2	20021217	JP 2000-614237	20000419
	US 2002045618	A1	20020418	US 2001-934531	20010823
PRAI	DK 1999-571	A	19990426		
	DK 1999-1504	A	19991020		
	WO 2000-DK202	W	20000419		
OS	MARPAT 133:321908				
GI					



I



II

AB The invention relates to novel heteroaryl diazacycloalkane derivs. I and their enantiomers, N-oxides, salts, and/or labeled forms [wherein: n = 1, 2, 3; m = 0, 1, 2; R = H, alkyl, cycloalkyl, cycloalkylalkyl, alkenyl, alkynyl, aralkyl, alkoxyphenyl, alkenyloxyphenyl, or bridging moiety to

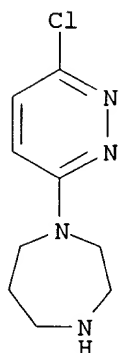


form a dimer; R1 = (un)substituted monocyclic 5- or 6-membered heterocyclyl or bicyclic heterocyclyl; or R = R1 = (un)substituted monocyclic 5- or 6-membered heterocyclyl]. The compds. are cholinergic ligands at nicotinic acetylcholine receptors, and may be useful for the treatment of a diversity of diseases and disorders, e.g., those related to the CNS cholinergic system, smooth muscle contraction, the endocrine system, neurodegeneration, inflammation, pain, and substance abuse withdrawal symptoms. A large no. of compds., mostly homopiperazine derivs., were prepd. and/or claimed. For instance, neat reaction of 3,5-dichloropyridine with homopiperazine at 150.degree. gave title compd. II in 40% yield. Similar compds. inhibited binding of 3H-epibatidine to rat brain nicotinic receptors with IC50 values as low as 0.001 .mu.M.

IT **100224-61-1P**, 1-(6-Chloro-3-pyridazinyl)homopiperazine  
**223796-20-1P**, 1-(3-Pyridyl)homopiperazine **223796-92-7P**,  
 1-(5-Bromo-3-pyridyl)homopiperazine **223797-48-6P**,  
 1-(3-Pyridyl)-4-(tert-butoxycarbonyl)homopiperazine **303159-88-8P**  
 , 1-[5-(Phenylacetylenyl)-3-pyridyl]homopiperazine **303159-92-4P**,  
 1-[5-(1-Methylprop-2-enyloxy)-3-pyridyl]homopiperazine  
**303160-09-0P**, 1-(6-Amino-3-pyridyl)homopiperazine  
**303160-10-3P**, 1-(6-Nitro-3-pyridyl)homopiperazine  
**303160-83-0P**, 1-[5-[5-(1-Homopiperazinyl)pyrid-3-yl]pyrid-3-yl]homopiperazine **303161-25-3P**, 1-(5-Bromo-3-pyridyl)-4-(tert-butoxycarbonyl)homopiperazine **303161-42-4P**, 1-[5-(Prop-1-enyloxy)-3-pyridyl]-4-(tert-butoxycarbonyl)homopiperazine  
**303161-60-6P**, 1-(5-Iodo-3-pyridyl)-4-(tert-butoxycarbonyl)homopiperazine **303161-61-7P**, 1-[5-(Trimethylstannyl)-3-pyridyl]-4-(tert-butoxycarbonyl)homopiperazine  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (drug candidate; prepn. of heteroaryldiazacycloalkanes as nicotinic acetylcholine receptor ligands)

RN 100224-61-1 CAPLUS

CN 1H-1,4-Diazepine, 1-(6-chloro-3-pyridazinyl)hexahydro- (9CI) (CA INDEX NAME)



RN 223796-20-1 CAPLUS

CN 1H-1,4-Diazepine, hexahydro-1-(3-pyridinyl)- (9CI) (CA INDEX NAME)

~~122~~ ANSWER 4 OF 7 CAPLUS COPYRIGHT 2003 ACS

AN 2000:553442 CAPLUS

DN 133:168383

TI Pharmaceutical compositions containing nicotine or a ligand of nicotine receptors and a monamine oxidase inhibitor and their use for treating tobacco withdrawal symptoms

IN Caille, Dominique; George, Pascal; Jegham, Samir; Robineau, Pascale; Scatton, Bernard; Zivkovic, Branimir

PA Sanofi-Synthelabo, Fr.

SO PCT Int. Appl., 37 pp.

CODEN: PIXXD2

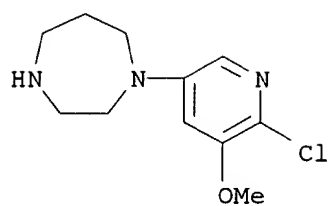
DT Patent

LA French

FAN.CNT 1

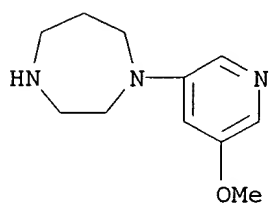
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000045846	A1	20000810	WO 2000-FR193	20000128
	W:				
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	RW:				
	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	FR 2788982	A1	20000804	FR 1999-1144	19990202
	FR 2788982	B1	20020802		
	EP 1150715	A1	20011107	EP 2000-901660	20000128
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2002536342	T2	20021029	JP 2000-596965	20000128
PRAI	FR 1999-1144	A	19990202		
	WO 2000-FR193	W	20000128		
OS	MARPAT 133:168383				
AB	The invention concerns novel pharmaceutical compns. contg. nicotine or a ligand of nicotine receptors and a monamine oxidase inhibitor designed for treating tobacco withdrawal symptoms. A bilayer tablet contained befloraxone 5, lactose 66, microcryst. cellulose 20, povidone 4, crospovidone 4, and magnesium stearate 1% in the first layer, and nicotine polacrylix 5, microcryst. cellulose 20 povidone 4, hydroxypropyl Me cellulose 25, magnesium stearate 1, and lactose q.s. 100% in the second layer.				
IT	<b>223796-26-7 223796-36-9</b>				
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)				
	(pharmaceutical compns. contg. nicotine or ligand of nicotine receptors and monamine oxidase inhibitor and their use for treating tobacco withdrawal symptoms)				
RN	223796-26-7 CAPLUS				
CN	1H-1,4-Diazepine, 1-(6-chloro-5-methoxy-3-pyridinyl)hexahydro- (9CI) (CA INDEX NAME)				

09/934,531



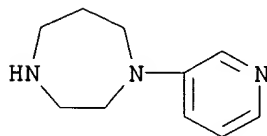
RN 223796-36-9 CAPLUS

CN 1H-1,4-Diazepine, hexahydro-1-(5-methoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)



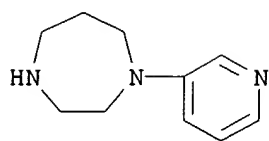
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

122 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2003 ACS  
 AN 2000:293414 CAPLUS  
 DN 133:99064  
 TI Novel potent ligands for the central nicotinic acetylcholine receptor:  
 synthesis, receptor binding, and 3D-QSAR analysis  
 AU Nielsen, Simon Feldbk; Nielsen, Elsebet Ostergaard; Olsen, Gunnar M.;  
 Liljefors, Tommy; Peters, Dan  
 CS NeuroSearch A/S, Ballerup, DK-2750, Den.  
 SO Journal of Medicinal Chemistry (2000), 43(11), 2217-2226  
 CODEN: JMCMAR; ISSN: 0022-2623  
 PB American Chemical Society  
 DT Journal  
 LA English  
 AB In the past few years the focus on central acetylcholine receptors has  
 shifted from compds. with affinity for muscarinic acetylcholine receptors  
 (mAChR) to compds. with affinity for nicotinic acetylcholine receptors  
 (nAChR). The therapeutic potential includes treatment of a variety of  
 diseases, e.g., Alzheimer's disease, Parkinson's disease, and Tourette's  
 syndrome. This work describes the synthesis of six novel series of potent  
 ligands with nanomolar affinity for the .alpha.4.beta.2 nAChR subtype.  
 Structure-activity relationship (SAR) was evaluated by the calcn. of a  
 3D-QSAR model. 3D-QSAR anal. of the compds. using the GRID/GOLPE methodol.  
 resulted in a model of high quality (R2 = 0.97, Q2 = 0.81). The coeff.  
 plots reveal that the steric interactions between the target and our  
 compds. are of major importance for the affinity. Bulky substituents in  
 the 6-position of the pyridine ring will reduce the affinity of the  
 compds., whereas bulky ring systems including a sp3-nitrogen will increase  
 the affinity of the compds.  
 IT 223796-20-1P 223796-21-2P 223796-26-7P  
 223796-36-9P 223796-37-0P  
 RL: BAC (Biological activity or effector, except adverse); BPR (Biological  
 process); BSU (Biological study, unclassified); PRP (Properties); SPN  
 (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);  
 PREP (Preparation); PROC (Process); USES (Uses)  
 (synthesis, receptor binding, and 3D-QSAR anal. of novel potent ligands  
 for the central nAChR)  
 RN 223796-20-1 CAPLUS  
 CN 1H-1,4-Diazepine, hexahydro-1-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 223796-21-2 CAPLUS  
 CN 1H-1,4-Diazepine, hexahydro-1-(3-pyridinyl)-, (2E)-2-butenedioate (1:1)  
 (9CI) (CA INDEX NAME)  
 CM 1  
 CRN 223796-20-1  
 CMF C10 H15 N3

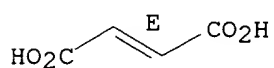
09/934,531



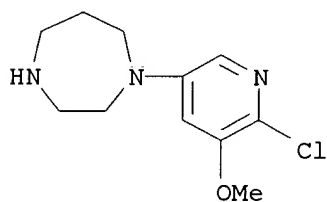
CM 2

CRN 110-17-8  
CMF C4 H4 O4

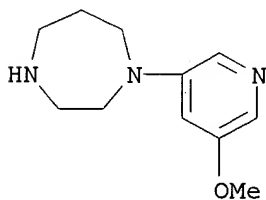
Double bond geometry as shown.



RN 223796-26-7 CAPLUS  
CN 1H-1,4-Diazepine, 1-(6-chloro-5-methoxy-3-pyridinyl)hexahydro- (9CI) (CA INDEX NAME)



RN 223796-36-9 CAPLUS  
CN 1H-1,4-Diazepine, hexahydro-1-(5-methoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)

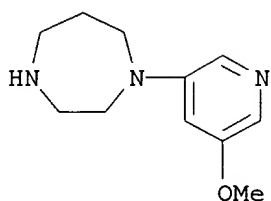


RN 223796-37-0 CAPLUS  
CN 1H-1,4-Diazepine, hexahydro-1-(5-methoxy-3-pyridinyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 223796-36-9  
CMF C11 H17 N3 O

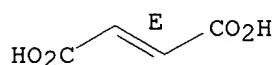
09/934,531



CM 2

CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.

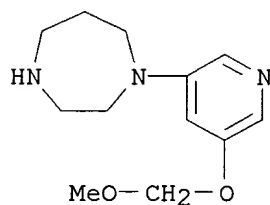


IT 223796-74-5P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)  
(synthesis, receptor binding, and 3D-QSAR anal. of novel potent ligands  
for the central nAChR)

RN 223796-74-5 CAPLUS

CN 1H-1,4-Diazepine, hexahydro-1-[5-(methoxymethoxy)-3-pyridinyl]- (9CI) (CA  
INDEX NAME)

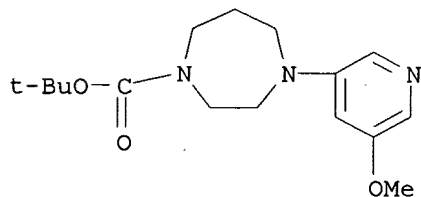


IT 223797-63-5

RL: RCT (Reactant); RACT (Reactant or reagent)  
(synthesis, receptor binding, and 3D-QSAR anal. of novel potent ligands  
for the central nAChR)

RN 223797-63-5 CAPLUS

CN 1H-1,4-Diazepine-1-carboxylic acid, hexahydro-4-(5-methoxy-3-pyridinyl)-,  
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD

09/934,531

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2003 ACS

AN 1999:299480 CAPLUS

DN 130:325154

TI Preparation of N-pyridylpiperazines and analogs as nicotinic receptor ligands

IN Peters, Dan; Olsen, Gunnar M.; Nielsen, Simon Feldbaek; Nielsen, Elsebet Ostergaard

PA Neurosearch A/S, Den.

SO PCT Int. Appl., 68 pp.

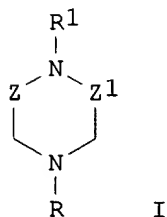
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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PI	WO 9921834	A1	19990506	WO 1998-DK465	19981027
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
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	AU 9897368	A1	19990517	AU 1998-97368	19981027
	AU 744539	B2	20020228		
	ZA 9809771	A	19990929	ZA 1998-9771	19981027
	EP 1027336	A1	20000816	EP 1998-951286	19981027
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
	BR 9813279	A	20000822	BR 1998-13279	19981027
	EE 200000178	A	20010416	EE 2000-200000178	19981027
	JP 2001521025	T2	20011106	JP 2000-517946	19981027
	NZ 503520	A	20020828	NZ 1998-503520	19981027
	NO 2000002132	A	20000426	NO 2000-2132	20000426
PRAI	DK 1997-1225	A	19971027		
	DK 1998-409	A	19980324		
	DK 1998-796	A	19980619		
	WO 1998-DK465	W	19981027		
OS	MARPAT 130:325154				
GI					

AB Title compds. [I; R = H, (cyclo)alkyl, aralkyl; R<sup>1</sup> = C<sub>6</sub>H<sub>4</sub>R<sub>2</sub> or



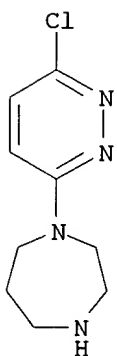
(un)substituted heteroaryl; R2 = NH2, NO2, OH, alkoxy; Z = (CH2)0-2; Z1 = (CH2)1-3] were prepd. Thus, 1-tert-butoxycarbonylpiperazine was N-arylated by 3-bromopyridine and the deprotected product N-methylated to give I (R = Me, R1 = 3-pyridyl, Z = Z1 = CH2). Data for biol activity of I were given.

IT 100224-61-1P 223795-46-8P 223796-15-4P  
 223796-16-5P 223796-20-1P 223796-21-2P  
 223796-26-7P 223796-36-9P 223796-37-0P  
 223796-62-1P 223796-74-5P 223796-75-6P  
 223796-79-0P 223796-90-5P 223796-91-6P  
 223796-92-7P 223796-93-8P 223797-08-8P  
 223797-23-7P 223797-24-8P 223797-25-9P  
 223797-44-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of N-pyridylpiperazines and analogs as nicotinic receptor ligands)

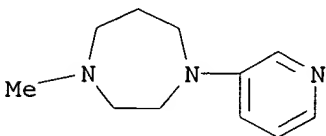
RN 100224-61-1 CAPLUS

CN 1H-1,4-Diazepine, 1-(6-chloro-3-pyridazinyl)hexahydro- (9CI) (CA INDEX NAME)



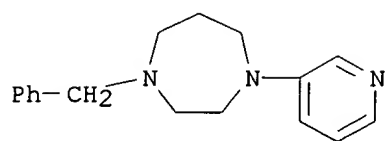
RN 223795-46-8 CAPLUS

CN 1H-1,4-Diazepine, hexahydro-1-methyl-4-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 223796-15-4 CAPLUS

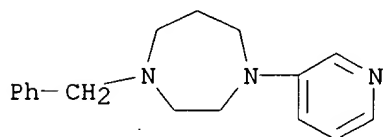
CN 1H-1,4-Diazepine, hexahydro-1-(phenylmethyl)-4-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 223796-16-5 CAPLUS  
 CN 1H-1,4-Diazepine, hexahydro-1-(phenylmethyl)-4-(3-pyridinyl)-,  
 (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

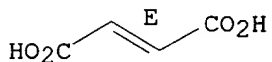
CRN 223796-15-4  
 CMF C17 H21 N3



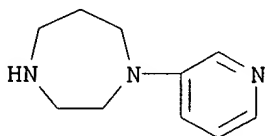
CM 2

CRN 110-17-8  
 CMF C4 H4 O4

Double bond geometry as shown.



RN 223796-20-1 CAPLUS  
 CN 1H-1,4-Diazepine, hexahydro-1-(3-pyridinyl)- (9CI) (CA INDEX NAME)

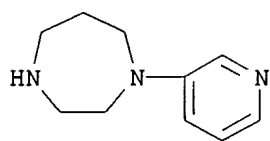


RN 223796-21-2 CAPLUS  
 CN 1H-1,4-Diazepine, hexahydro-1-(3-pyridinyl)-, (2E)-2-butenedioate (1:1)  
 (9CI) (CA INDEX NAME)

CM 1

CRN 223796-20-1  
 CMF C10 H15 N3

09/934,531

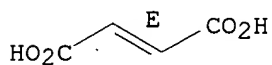


CM 2

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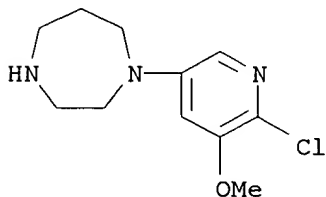
CMF C4 H4 O4

Double bond geometry as shown.



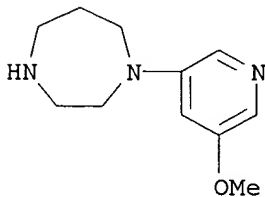
RN 223796-26-7 CAPLUS

CN 1H-1,4-Diazepine, 1-(6-chloro-5-methoxy-3-pyridinyl)hexahydro- (9CI) (CA INDEX NAME)



RN 223796-36-9 CAPLUS

CN 1H-1,4-Diazepine, hexahydro-1-(5-methoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)



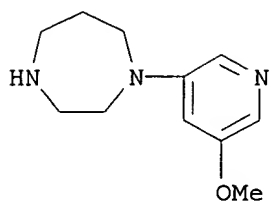
RN 223796-37-0 CAPLUS

CN 1H-1,4-Diazepine, hexahydro-1-(5-methoxy-3-pyridinyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 223796-36-9

CMF C11 H17 N3 O

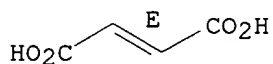


CM 2

CRN 110-17-8

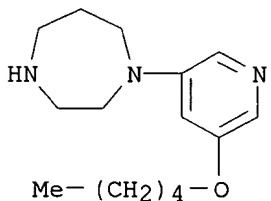
CMF C4 H4 O4

Double bond geometry as shown.



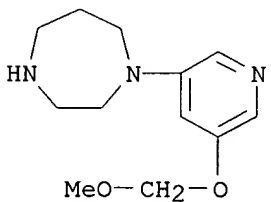
RN 223796-62-1 CAPLUS

CN 1H-1,4-Diazepine, hexahydro-1-[5-(pentyloxy)-3-pyridinyl]- (9CI) (CA INDEX NAME)



RN 223796-74-5 CAPLUS

CN 1H-1,4-Diazepine, hexahydro-1-[5-(methoxymethoxy)-3-pyridinyl]- (9CI) (CA INDEX NAME)



RN 223796-75-6 CAPLUS

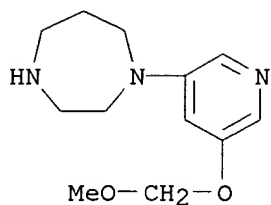
CN 1H-1,4-Diazepine, hexahydro-1-[5-(methoxymethoxy)-3-pyridinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 223796-74-5

CMF C12 H19 N3 O2

09/934,531

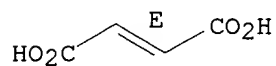


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



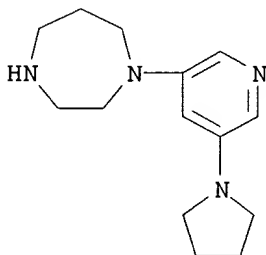
RN 223796-79-0 CAPLUS

CN 1H-1,4-Diazepine, hexahydro-1-[5-(1-pyrrolidinyl)-3-pyridinyl]-,  
(2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 223796-78-9

CMF C14 H22 N4

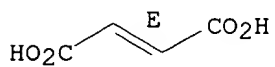


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.

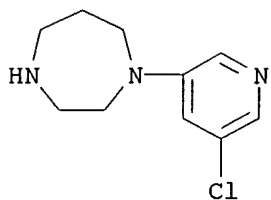


RN 223796-90-5 CAPLUS

CN 1H-1,4-Diazepine, 1-(5-chloro-3-pyridinyl)hexahydro- (9CI) (CA INDEX

09/934,531

NAME)



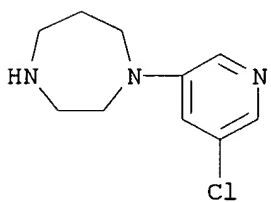
RN 223796-91-6 CAPLUS

CN 1H-1,4-Diazepine, 1-(5-chloro-3-pyridinyl)hexahydro-, (2E)-2-butenedioate  
(1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 223796-90-5

CMF C10 H14 Cl N3

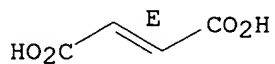


CM 2

CRN 110-17-8

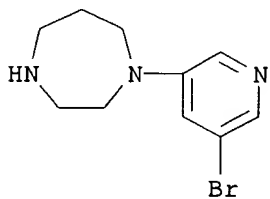
CMF C4 H4 O4

Double bond geometry as shown.



RN 223796-92-7 CAPLUS

CN 1H-1,4-Diazepine, 1-(5-bromo-3-pyridinyl)hexahydro- (9CI) (CA INDEX NAME)



RN 223796-93-8 CAPLUS

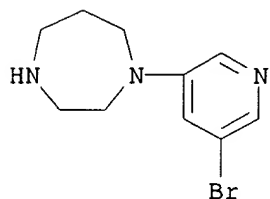
CN 1H-1,4-Diazepine, 1-(5-bromo-3-pyridinyl)hexahydro-, (2E)-2-butenedioate

09/934,531

(1:1) (9CI) (CA INDEX NAME)

CM 1

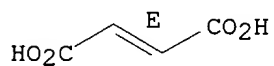
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CMF C10 H14 Br N3



CM 2

CRN 110-17-8  
CMF C4 H4 O4

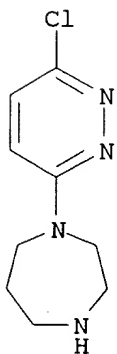
Double bond geometry as shown.



RN 223797-08-8 CAPLUS  
CN 1H-1,4-Diazepine, 1-(6-chloro-3-pyridazinyl)hexahydro-,  
(2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 100224-61-1  
CMF C9 H13 Cl N4

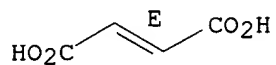


CM 2

CRN 110-17-8  
CMF C4 H4 O4

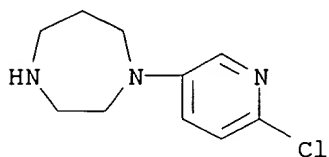
09/934,531

Double bond geometry as shown.



RN 223797-23-7 CAPLUS

CN 1H-1,4-Diazepine, 1-(6-chloro-3-pyridinyl)hexahydro- (9CI) (CA INDEX NAME)



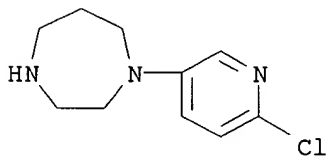
RN 223797-24-8 CAPLUS

CN 1H-1,4-Diazepine, 1-(6-chloro-3-pyridinyl)hexahydro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 223797-23-7

CMF C10 H14 Cl N3

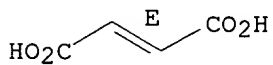


CM 2

CRN 110-17-8

CMF C4 H4 O4

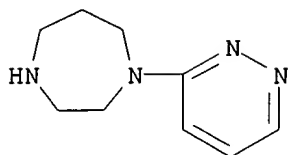
Double bond geometry as shown.



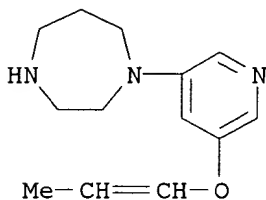
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CN 1H-1,4-Diazepine, hexahydro-1-(3-pyridazinyl)- (9CI) (CA INDEX NAME)

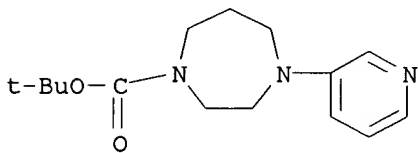




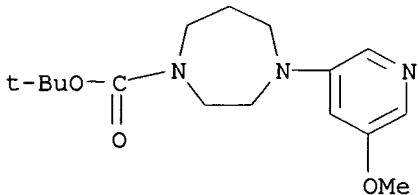
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CN 1H-1,4-Diazepine, hexahydro-1-[5-(1-propenyloxy)-3-pyridinyl]- (9CI) (CA INDEX NAME)



IT 223797-48-6P 223797-63-5P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. of N-pyridylpiperazines and analogs as nicotinic receptor ligands)  
RN 223797-48-6 CAPLUS  
CN 1H-1,4-Diazepine-1-carboxylic acid, hexahydro-4-(3-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 223797-63-5 CAPLUS  
CN 1H-1,4-Diazepine-1-carboxylic acid, hexahydro-4-(5-methoxy-3-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2003 ACS

AN 1986:129918 CAPLUS

DN 104:129918

TI Anti-virally active pyridazinamines

IN Stokbroekx, Raymond Antoine; Van der Aa, Marcel Jozef Maria; Willems, Joannes Josephus Maria; Luyckx, Marcel Gerebernus Maria

PA Janssen Pharmaceutica N.V., Belg.

SO Eur. Pat. Appl., 76 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 156433	A2	19851002	EP 1985-200384	19850315
	EP 156433	A3	19860723		
	EP 156433	B1	19910227		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	US 5001125	A	19910319	US 1985-702772	19850215
	AT 61050	E	19910315	AT 1985-200384	19850315
	CZ 277730	B6	19930317	CZ 1985-1952	19850320
	NO 8501167	A	19850927	NO 1985-1167	19850322
	NO 161257	B	19890417		
	NO 161257	C	19890726		
	ES 541521	A1	19860416	ES 1985-541521	19850322
	SU 1384198	A3	19880323	SU 1985-3867689	19850322
	DK 8501341	A	19850927	DK 1985-1341	19850325
	DK 166277	B	19930329		
	DK 166277	C	19930830		
	FI 8501177	A	19850927	FI 1985-1177	19850325
	FI 85373	B	19911231		
	FI 85373	C	19920410		
	AU 8540348	A1	19851003	AU 1985-40348	19850325
	AU 576563	B2	19880901		
	JP 60226862	A2	19851112	JP 1985-58636	19850325
	HU 37614	A2	19860123	HU 1985-1127	19850325
	HU 198010	B	19890728		
	ZA 8502235	A	19861126	ZA 1985-2235	19850325
	IL 74707	A1	19880531	IL 1985-74707	19850325
	CA 1238321	A1	19880621	CA 1985-477330	19850325
	PL 147465	B1	19890630	PL 1985-252562	19850325
	RO 91197	B3	19870630	RO 1985-118137	19850326
	US 5157035	A	19921020	US 1991-637091	19910103
	US 5292738	A	19940308	US 1992-929622	19920813
PRAI	US 1984-593444		19840326		
	US 1985-702772		19850215		
	EP 1985-200384		19850315		
	US 1991-637091		19910103		

GI For diagram(s), see printed CA Issue.

AB The title compds. I [R1 = H, halo, 1H-imidazol-1-yl, alkyloxy, aryloxy, aralkoxy, alkylthio, arylthio, HO, HS, amino, alkylsulfinyl, alkylsulfonyl, cyano, alkoxycarbonyl, alkanoyl, alkyl; R2, R3 = H, alkyl; R2R3 = CH:CHCH:CH; X = CH:NCH:CH2, optionally alkyl- or aryl-substituted CmH2mNR4CnH2n, CmH2mCR5R6CnH2n, Cm-1H2(m-1)CR7:CR8CnH2n; R4 = H, alkyl, aryl, thiazolyl, pyrimidinyl, quinolinyl, etc.; R5 = H, alkyl, aryl, HO, alkyloxy, etc.; R6 = H, alkyl, aryl, indolyl, pyridinyl, etc.; R7, R8 = H, alkyl, aryl, aralkyl, pyridinyl; aryl = (un)substituted Ph; m,n = 1-4; m+n = 3-5] were prepd. Thus, 3,6-dichloropyridazine was treated with

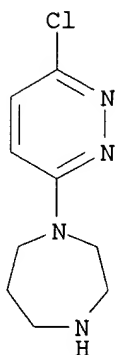
1,2,3,6-tetrahydro-4-(3-methylphenyl)pyridine to give pyridinylpyridazine II, which in the Rhinovirus Cytopathic Effect Test gave 0.006 .mu.g/mL as the lowest concn. necessary to inhibit .gtoreq.75% of the cytopathic effect of human rhinovirus. Oral drops were prepd. by dissolving 500 g I in 0.5 L MeCHOHCO<sub>2</sub>H and 1.5 L polypropylene glycol at 60-80.degree., cooling to 30-40.degree., adding 35 L polyethylene glycol, mixing well, adding 1750 g Na saccharin in 2.5 L purified H<sub>2</sub>O and 2.5 L cocoa flavor, and finally polyethylene glycol to 50 L to provide a soln. comprising 10 mg I/mL.

IT **100224-61-1P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of, as virucide)

RN 100224-61-1 CAPLUS

CN 1H-1,4-Diazepine, 1-(6-chloro-3-pyridazinyl)hexahydro- (9CI) (CA INDEX NAME)



09/934,531

=> d bib abs hitstr 1-2

L68 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS

AN 2003:42270 CAPLUS

DN 138:89958

TI Preparation of benzothiophene and benzothiazole compounds as cholinergic and monoamine receptor modulators

IN Peters, Dan; Olsen, Gunnar M.; **Nielsen, Elsebet Ostergaard;**  
Ahring, Philip K.; Jorgensen, Tino Dyhring

PA Neurosearch A/S, Den.

SO PCT Int. Appl., 44 pp.

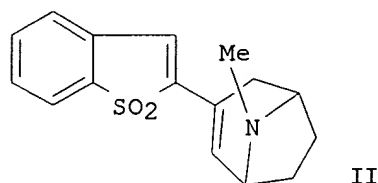
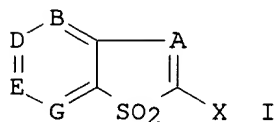
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003004493	A1	20030116	WO 2002-DK460	20020702
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	DK 2001-1064	A	20010706		
OS	MARPAT 138:89958				
GI					



AB Novel compds. of formula I [A, B, D, E, G = C, N; X = heterocycle] are prepd. that are found to be cholinergic ligands at the nicotinic acetylcholine receptors and modulators of the monoamine receptors and transporters. Due to their pharmacol. profile the compds. of the invention may be useful for the treatment of diseases or disorders as diverse as those related to the cholinergic system of the central nervous system (CNS), the peripheral nervous system (PNS), diseases or disorders related to smooth muscle contraction, endocrine diseases or disorders, diseases or disorders related to neuro-degeneration, diseases or disorders related to inflammation, pain, and withdrawal symptoms caused by the termination of abuse of chem. substances. Thus, was prepd. and inhibited 3H-.alpha.-bungarotoxine binding in rat brain with IC50 of 0.018 .mu.M.

IT 484650-82-0P 484650-83-1P 484650-84-2P

484650-85-3P 484651-02-7P 484651-03-8P

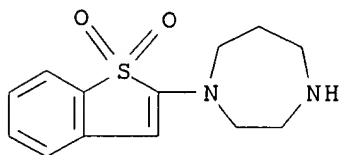
484651-04-9P 484651-05-0P

RL: DGN (Diagnostic use); PAC (Pharmacological activity); SPN (Synthetic

preparation); THU (Therapeutic use); BIOL (Biological study); PREP  
(Preparation); USES (Uses)  
(prepn. of benzothiophene and benzothiazole compds. as cholinergic and  
monoamine receptor modulators)

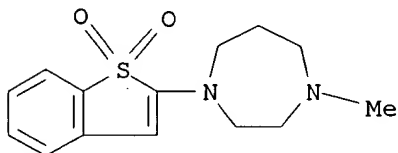
RN 484650-82-0 CAPLUS

CN 1H-1,4-Diazepine, 1-(1,1-dioxidobenzo[b]thien-2-yl)hexahydro- (9CI) (CA  
INDEX NAME)



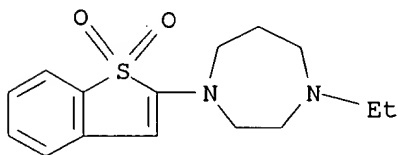
RN 484650-83-1 CAPLUS

CN 1H-1,4-Diazepine, 1-(1,1-dioxidobenzo[b]thien-2-yl)hexahydro-4-methyl-  
(9CI) (CA INDEX NAME)



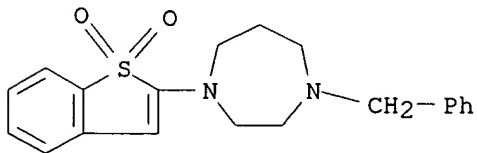
RN 484650-84-2 CAPLUS

CN 1H-1,4-Diazepine, 1-(1,1-dioxidobenzo[b]thien-2-yl)-4-ethylhexahydro-  
(9CI) (CA INDEX NAME)



RN 484650-85-3 CAPLUS

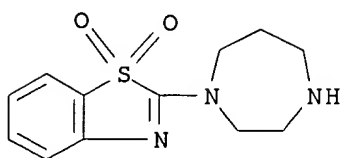
CN 1H-1,4-Diazepine, 1-(1,1-dioxidobenzo[b]thien-2-yl)hexahydro-4-  
(phenylmethyl)- (9CI) (CA INDEX NAME)



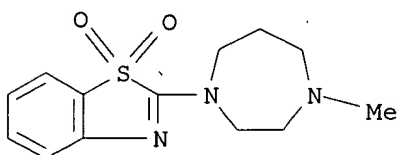
RN 484651-02-7 CAPLUS

CN Benzothiazole, 2-(hexahydro-1H-1,4-diazepin-1-yl)-, 1,1-dioxide (9CI) (CA

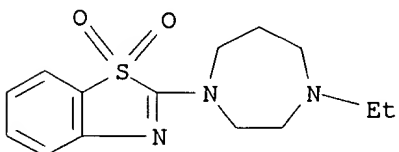
INDEX NAME)



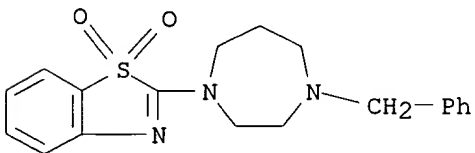
RN 484651-03-8 CAPLUS  
CN Benzothiazole, 2-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-, 1,1-dioxide  
(9CI) (CA INDEX NAME)



RN 484651-04-9 CAPLUS  
CN Benzothiazole, 2-(4-ethylhexahydro-1H-1,4-diazepin-1-yl)-, 1,1-dioxide  
(9CI) (CA INDEX NAME)



RN 484651-05-0 CAPLUS  
CN Benzothiazole, 2-[hexahydro-4-(phenylmethyl)-1H-1,4-diazepin-1-yl]-,  
1,1-dioxide (9CI) (CA INDEX NAME)



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L68 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS

AN 2000:384193 CAPLUS

DN 133:30663

TI Preparation of 8-azabicyclo[3.2.1]oct-2-ene and -octane derivatives as cholinergic ligands at the nicotinic Acetyl Choline Receptors (nAChR)

IN Peters, Dan; Olsen, Gunnar M.; Nielsen, Simon Feldbaek; **Nielsen, Elsebet Ostergaard**

PA Neurosearch A/S, Den.

SO PCT Int. Appl., 58 pp.

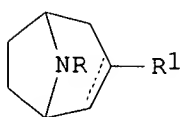
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000032600	A1	20000608	WO 1999-DK661	19991126
	W:				
	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW:				
	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2342621	AA	20000608	CA 1999-2342621	19991126
	EP 1133494	A1	20010919	EP 1999-973031	19991126
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2002531456	T2	20020924	JP 2000-585242	19991126
	US 2002035122	A1	20020321	US 2001-864367	20010525
PRAI	DK 1998-1570	A	19981127		
	WO 1999-DK661	W	19991126		
OS	MARPAT 133:30663				
GI					



AB The title compds. [I; R = H, alkyl, alkenyl, etc.; R1 = COR2, (un)substituted mono- or polycyclic aryl, (un)substituted (un)satd. 5-6 membered heterocyclyl, etc.; R2 = H, alkyl, alkenyl, etc.] and their salts which are found to be cholinergic ligands at the nicotinic Acetyl Choline Receptors (no data) and may be useful for the treatment of diseases or disorders as diverse as those related to the cholinergic system of the central nervous system (CNS), diseases or disorders related to smooth muscle contraction, endocrine diseases or disorders, diseases or disorders related to neurodegeneration, diseases or disorders related to inflammation, pain, and withdrawal symptoms caused by the termination of abuse of chem. substances, were prepd. E.g., a 2-step synthesis of (.-)-8-azabicyclo[3.2.1]oct-2-ene I.fumarate [R = Me; R1 = 6-methoxy-2-naphthyl] was given. Compds. I may also be useful as radioligands for in vivo receptor imaging (neuroimaging).



09/934,531

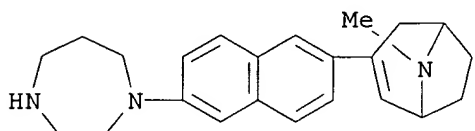
IT 273403-29-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 8-azabicyclo[3.2.1]oct-2-ene and -octane derivs. as cholinergic ligands at the nicotinic Acetyl Choline Receptors (nAChR))

RN 273403-29-5 CAPLUS

CN 8-Azabicyclo[3.2.1]oct-2-ene, 3-[6-(hexahydro-1H-1,4-diazepin-1-yl)-2-naphthalenyl]-8-methyl- (9CI) (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT